

10/540,616A Yong Chu 10-9-2006

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| NEWS | 3 FEB 27 | New STN AnaVist pricing effective March 1, 2006 |
| NEWS | 4 MAY 10 | CA/CAPLUS enhanced with 1900-1906 U.S. patent records |
| NEWS | 5 MAY 11 | KOREAPAT updates resume |
| NEWS | 6 MAY 19 | Derwent World Patents Index to be reloaded and enhanced |
| NEWS | 7 MAY 30 | IPC 8 Rolled-up Core codes added to CA/CAPLUS and USPATFULL/USPAT2 |
| NEWS | 8 MAY 30 | The F-Term thesaurus is now available in CA/CAPLUS |
| NEWS | 9 JUN 02 | The first reclassification of IPC codes now complete in INPADOC |
| NEWS | 10 JUN 26 | TULSA/TULSA2 reloaded and enhanced with new search and and display fields |
| NEWS | 11 JUN 28 | Price changes in full-text patent databases EPFULL and PCTFULL |
| NEWS | 12 JUL 11 | CHEMSAFE reloaded and enhanced |
| NEWS | 13 JUL 14 | FSTA enhanced with Japanese patents |
| NEWS | 14 JUL 19 | Coverage of Research Disclosure reinstated in DWPI |
| NEWS | 15 AUG 09 | INSPEC enhanced with 1898-1968 archive |
| NEWS | 16 AUG 28 | ADISCTI Reloaded and Enhanced |
| NEWS | 17 AUG 30 | CA(SM)/CAPLUS(SM) Austrian patent law changes |
| NEWS | 18 SEP 11 | CA/CAPLUS enhanced with more pre-1907 records |
| NEWS | 19 SEP 21 | CA/CAPLUS fields enhanced with simultaneous left and right truncation |
| NEWS | 20 SEP 25 | CA(SM)/CAPLUS(SM) display of CA Lexicon enhanced |
| NEWS | 21 SEP 25 | CAS REGISTRY(SM) no longer includes Concord 3D coordinates |
| NEWS | 22 SEP 25 | CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine |
| NEWS | 23 SEP 28 | CEABA-VTB classification code fields reloaded with new classification scheme |
| NEWS EXPRESS | JUNE 30 | CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006. |
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| NEWS LOGIN | | Welcome Banner and News Items |
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=> file reg

COST IN U.S. DOLLARS

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ENTRY

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0.21

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DICTIONARY FILE UPDATES: 8 OCT 2006 HIGHEST RN 909865-12-9

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

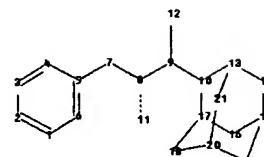
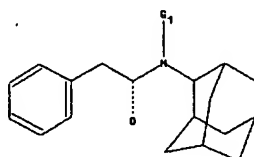
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chain nodes :
 7 8 9 11 12
 ring nodes :
 1 2 3 4 5 6 10 13 14 15 16 17 18 19 20 21
 chain bonds :
 5-7 7-8 8-9 8-11 9-10 9-12
 ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 10-13 10-17 13-14 13-21 14-15 15-16 15-19 16-17
 17-18 18-20 19-20 20-21
 exact/norm bonds :
 8-9 8-11 9-10 9-12 10-13 10-17 13-14 13-21 14-15 15-16 15-19 16-17 17-18
 18-20 19-20 20-21
 exact bonds :
 5-7 7-8
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6

G1:H,Ak

Match level :

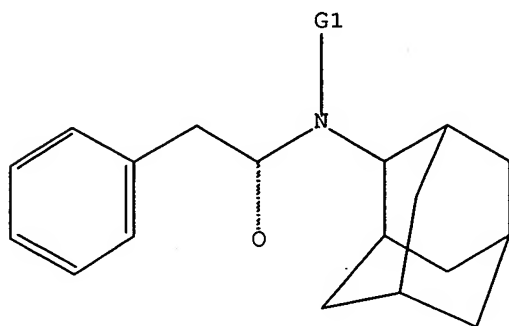
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 11:CLASS 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
 20:Atom 21:Atom

L1 STRUCTURE UPLOADED

=> d

L1 HAS NO ANSWERS

L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:36:15 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 466 TO ITERATE

100.0% PROCESSED 466 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 8025 TO 10615
PROJECTED ANSWERS: 3 TO 163

L2 3 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 14:36:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 8996 TO ITERATE

100.0% PROCESSED 8996 ITERATIONS 116 ANSWERS
SEARCH TIME: 00.00.01

L3 116 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 166.94 167.15

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L4 17 L3

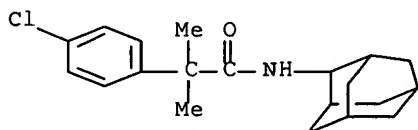
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L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:657359 CAPLUS Full-text
DOCUMENT NUMBER: 145:110213
TITLE: Metabolic stabilization of substituted adamantane
INVENTOR(S): Rohde, Jeffrey J.; Pan, Liping; Pliushchev, Marina;

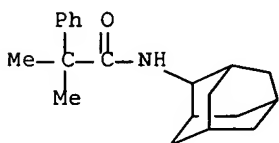
Link, James T.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 11 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------------------|----------|-----------------|------------|
| US 2006148871 | A1 | 20060706 | US 2006-325956 | 20060105 |
| PRIORITY APPLN. INFO.: | | | US 2005-641676P | P 20050105 |
| OTHER SOURCE(S): | MARPAT 145:110213 | | | |

AB The present invention is directed to the method of increasing the metabolic stability of adamantane contg. compds. that are inhibitors of the 11-beta-hydroxysteroid dehydrogenase Type 1 (11-beta-HSD-1) enzyme. The stability is achieved by substitutions of the adamantane ring. For example, soln. of 2-adamantanamine hydrochloride 38 mg, 2-phenylisobutyric acid 30 mg, , and O-benzotriazol-1-yl-N,N,N',N'-tetramethyluronium tetrafluoroborate 65 mg in N,N-dimethylacetamide 2 mL and DIEA 80 .mu.L, was stirred for 16 h at 23 OC to get N-2-adamantyl-2-methyl-2- phenylpropanamide.
 IT 717889-77-5P 717889-79-7P
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (metabolic stabilization of substituted adamantane)
 RN 717889-77-5 CAPLUS
 CN Benzeneacetamide, 4-chloro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-79-7 CAPLUS
 CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
 ACCESSION NUMBER: 2006:657188 CAPLUS Full-text
 DOCUMENT NUMBER: 145:124215
 TITLE: Preparation of N-adamantane carboxamide derivatives as

inhibitors of the 11-beta-hydroxysteroid dehydrogenase
type 1 enzyme

INVENTOR(S):

Rohde, Jeffrey J.; Shuai, Qi; Link, James T.; Patel,
Jyoti R.; Dinges, Jurgen; Sorensen, Bryan K.; Yong,
Hong; Yeh, Vince S.; Kurukulasuriya, Ravi

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 58 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 2006149070 | A1 | 20060706 | US 2006-326277 | 20060105 |
| WO 2006074330 | A2 | 20060713 | WO 2006-US402 | 20060105 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.:

US 2005-641496P

P 20050105

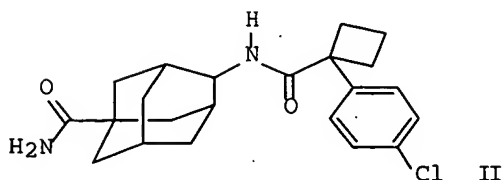
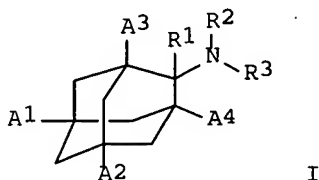
US 2006-326277

A 20060105

OTHER SOURCE(S):

MARPAT 145:124215

GI



AB Title compds. I [A1-4 one of which = alkyl-NH-alkyl, alkylcarbonyl,
cycloalkyl, etc. with the remaining of A = H, alkyl, aryl, etc.; R1 = H or

alkyl; R2 = H, alkyl or cycloalkyl; R3 = substituted acetyl with CO attached directly to N forming amide bond], and their pharmaceutically acceptable salts, are prepd. and disclosed as inhibitors of the 11-beta-hydroxysteroid dehydrogenase Type 1 enzyme. Thus, e.g., II was prepd. by amination of the corresponding acid (prepn. given). The present invention further relates to the use of inhibitors of 11-beta-hydroxysteroid dehydrogenase Type 1 enzyme for the treatment of non-insulin dependent type 2 diabetes, insulin resistance, obesity, lipid disorders, metabolic syndrome and other diseases and conditions that are mediated by excessive glucocorticoid action. In assays for inhibition of 11-beta-hydroxysteroid dehydrogenase Type 1 enzyme, I demonstrated IC50 values ranging from 16-104 nM.

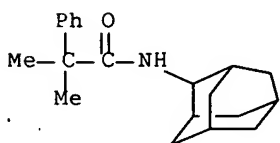
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 897395-58-3P 897395-59-4P 897395-60-7P
 897395-62-9P 897395-65-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-adamantane carboxamide derivs. as inhibitors of the 11-beta-hydroxysteroid dehydrogenase type 1 enzyme)

RN 717889-79-7 CAPLUS

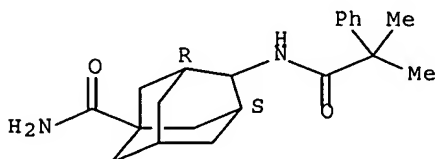
CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



RN 897394-74-0 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

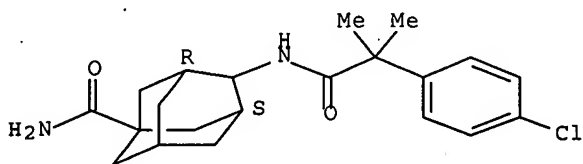
Relative stereochemistry.



RN 897394-78-4 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-(4-chlorophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

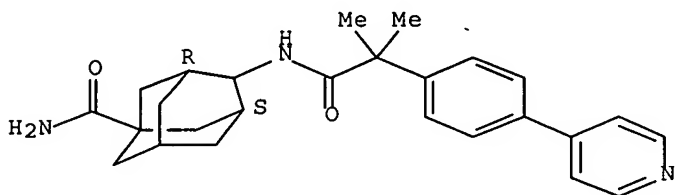
Relative stereochemistry.



RN 897394-88-6 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(4-pyridinyl)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

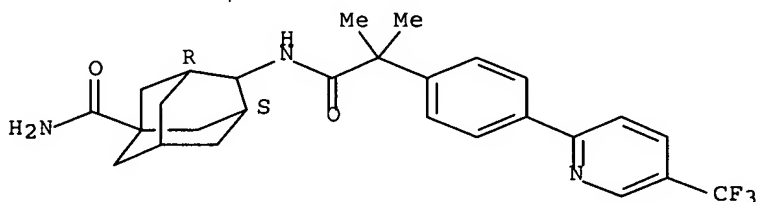
Relative stereochemistry.



RN 897394-92-2 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-[5-(trifluoromethyl)-2-pyridinyl]phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

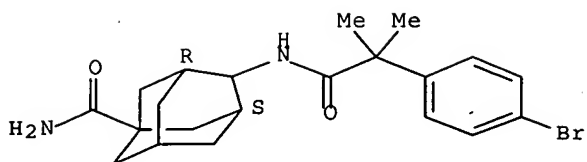
Relative stereochemistry.



RN 897394-94-4 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-(4-bromophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

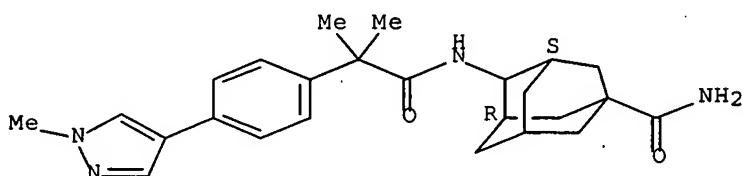
Relative stereochemistry.



RN 897395-00-5 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, 4-[[2-methyl-2-[4-(1-methyl-1H-pyrazol-4-yl)phenyl]-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

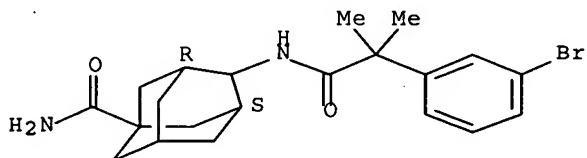
Relative stereochemistry.



RN 897395-01-6 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, 4-[[2-(3-bromophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

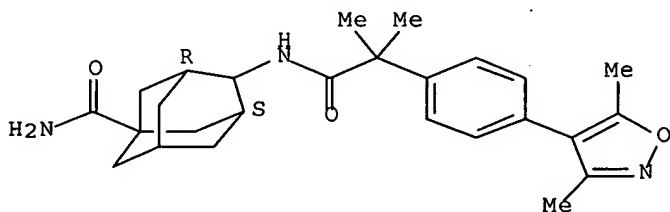
Relative stereochemistry.



RN 897395-02-7 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, 4-[[2-[4-(3,5-dimethyl-4-isoxazolyl)phenyl]-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

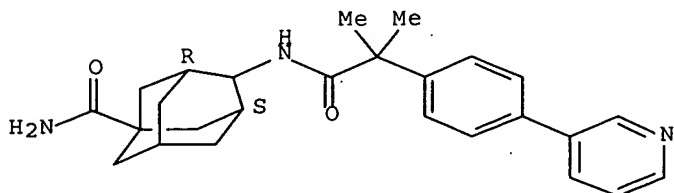
Relative stereochemistry.



RN 897395-03-8 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(3-pyridinyl)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

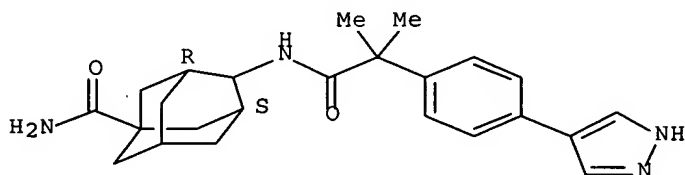
Relative stereochemistry.



RN 897395-05-0 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(1H-pyrazol-4-yl)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

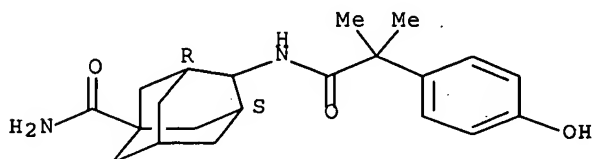
Relative stereochemistry.



RN 897395-10-7 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-(4-hydroxyphenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

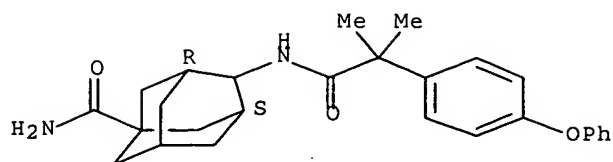
Relative stereochemistry.



RN 897395-12-9 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-(4-phenoxyphenyl)propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

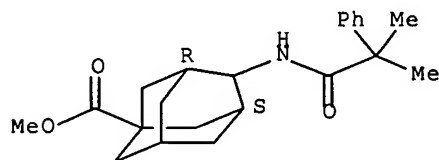
Relative stereochemistry.



RN 897395-18-5 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxylic acid, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, methyl ester, stereoisomer (9CI) (CA INDEX NAME)

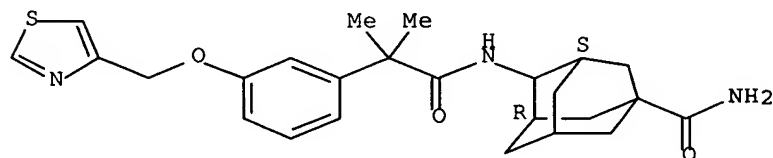
Relative stereochemistry.



RN 897395-19-6 CAPLUS

CN Tricyclo[3.3.1.13,7]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[3-(4-thiazolylmethoxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

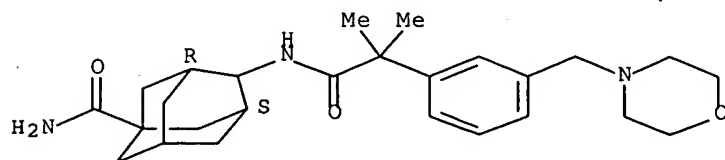
Relative stereochemistry.



RN 897395-21-0 CAPLUS

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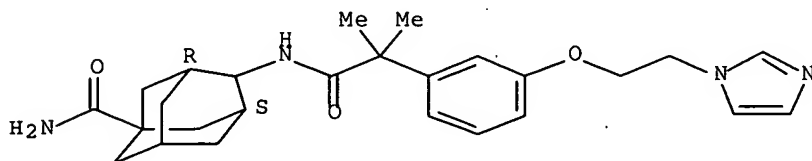
Relative stereochemistry.



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CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-[3-[2-(1H-imidazol-1-yl)ethoxy]phenyl]-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

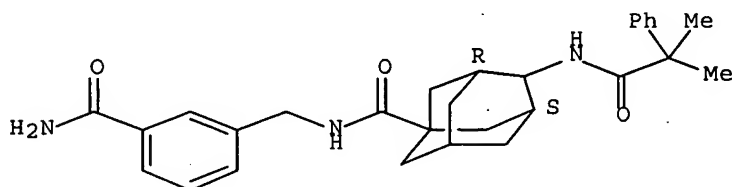
Relative stereochemistry.



RN 897395-26-5 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, N-[[3-(aminocarbonyl)phenyl]methyl]-4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

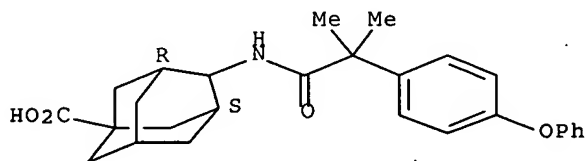
Relative stereochemistry.



RN 897395-29-8 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-(4-phenoxyphenyl)propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

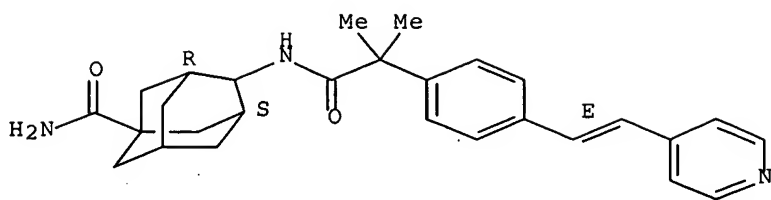


RN 897395-37-8 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-[2-(4-pyridinyl)ethenyl]phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.

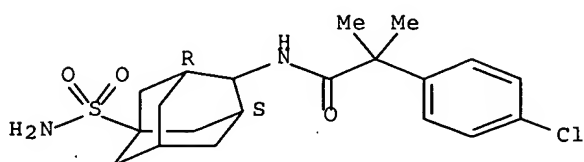
Double bond geometry as shown.



RN 897395-38-9 CAPLUS

CN Benzeneacetamide, N-[5-(aminosulfonyl)tricyclo[3.3.1.1.3,7]dec-2-yl]-4-chloro-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

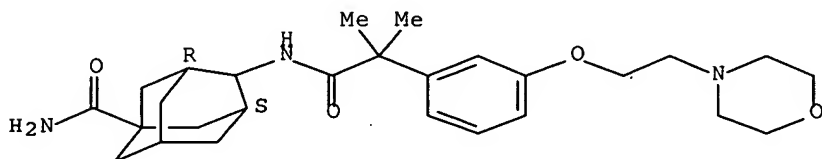
Relative stereochemistry.



RN 897395-39-0 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, 4-[[2-methyl-2-[3-[2-(4-morpholinyl)ethoxy]phenyl]-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

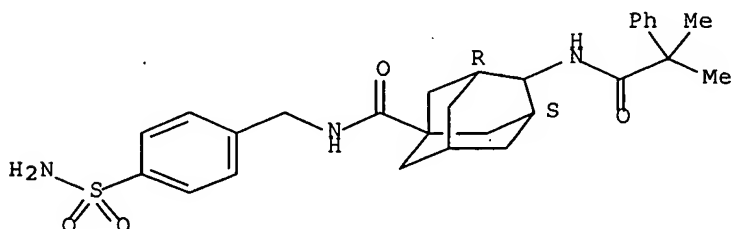
Relative stereochemistry.



RN 897395-43-6 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxamide, N-[[4-(aminosulfonyl)phenyl]methyl]-4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

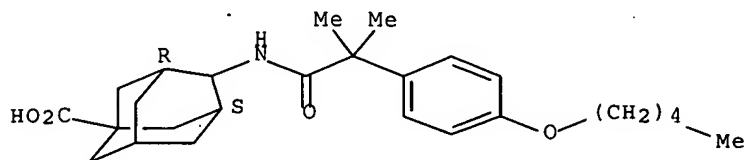
Relative stereochemistry.



RN 897395-44-7 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-(pentyloxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

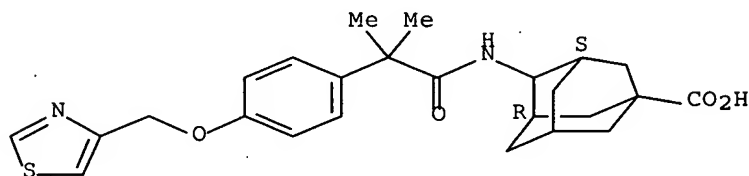
Relative stereochemistry.



RN 897395-45-8 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-(4-thiazolylmethoxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

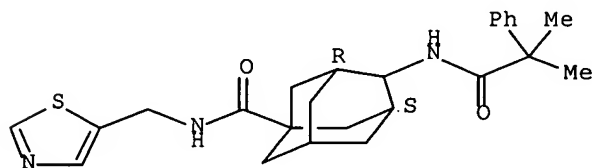
Relative stereochemistry.



RN 897395-46-9 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(5-thiazolylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

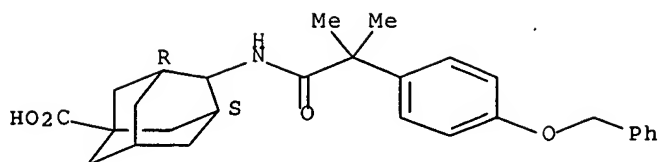
Relative stereochemistry.



RN 897395-47-0 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-(phenylmethoxy)phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

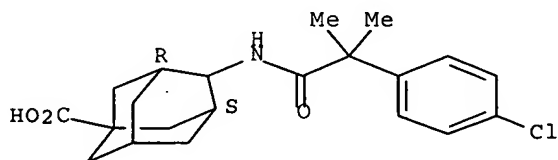
Relative stereochemistry.



RN 897395-49-2 CAPLUS

CN Tricyclo[3.3.1.1.3,7]decane-1-carboxylic acid, 4-[[2-(4-chlorophenyl)-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

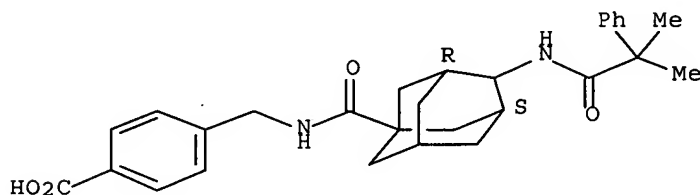
Relative stereochemistry.



RN 897395-51-6 CAPLUS

CN Benzoic acid, 4-[[[4-[(2-methyl-1-oxo-2-phenylpropyl)amino]tricyclo[3.3.1.1.3,7]dec-1-yl]carbonyl]amino]methyl]-, stereoisomer (9CI) (CA INDEX NAME)

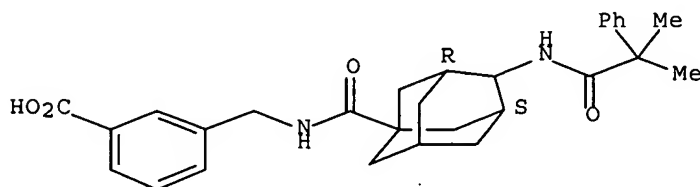
Relative stereochemistry.



RN 897395-52-7 CAPLUS

CN Benzoic acid, 3-[[[4-[(2-methyl-1-oxo-2-phenylpropyl)amino]tricyclo[3.3.1.1.3,7]dec-1-yl]carbonyl]amino]methyl]-, stereoisomer (9CI) (CA INDEX NAME)

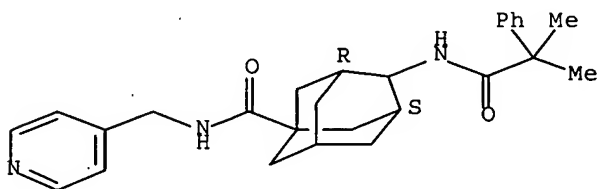
Relative stereochemistry.



RN 897395-54-9 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(4-pyridinylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

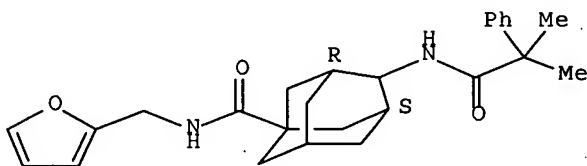
Relative stereochemistry.



RN 897395-56-1 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, N-(2-furanylmethyl)-4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

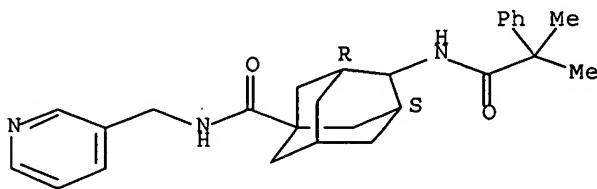
Relative stereochemistry.



RN 897395-57-2 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(3-pyridinylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

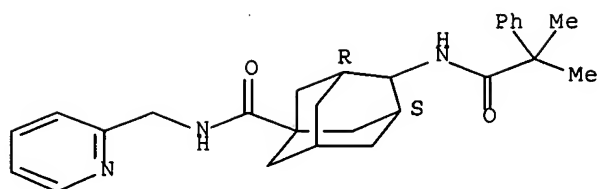
Relative stereochemistry.



RN 897395-58-3 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-N-(2-pyridinylmethyl)-, stereoisomer (9CI) (CA INDEX NAME)

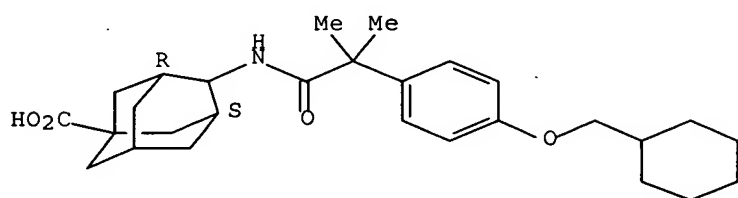
Relative stereochemistry.



RN 897395-59-4 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxylic acid, 4-[[2-[4-(cyclohexylmethoxy)phenyl]-2-methyl-1-oxopropyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

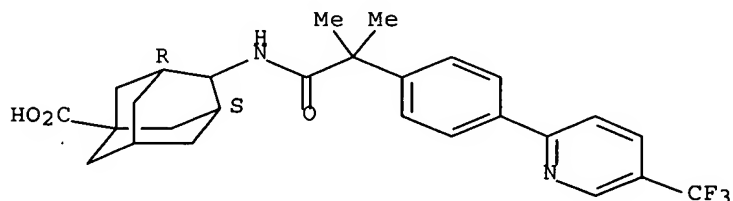
Relative stereochemistry.



RN 897395-60-7 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxylic acid, 4-[[2-methyl-1-oxo-2-[4-[5-(trifluoromethyl)-2-pyridinyl]phenyl]propyl]amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 897395-62-9 CAPLUS

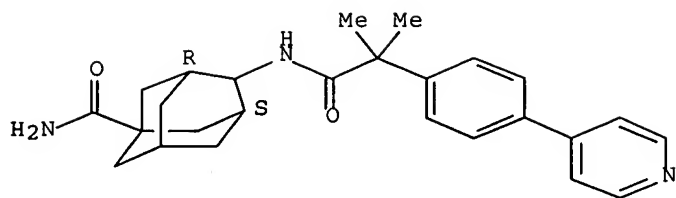
CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(4-pyridinyl)phenyl]propyl]amino]-, (3R,5S)-rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 897394-88-6

CMF C26 H31 N3 O2

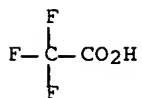
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 897395-65-2 CAPLUS

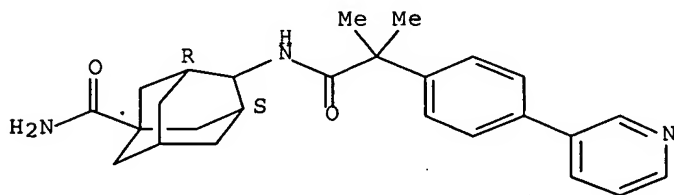
CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxamide, 4-[[2-methyl-1-oxo-2-[4-(3-pyridinyl)phenyl]propyl]amino]-, (3R,5S)-rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 897395-03-8

CMF C26 H31 N3 O2

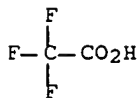
Relative stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 897394-71-7P

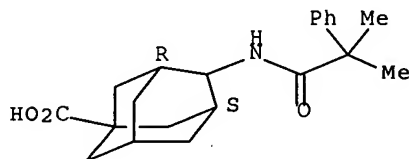
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-adamantane carboxamide derivs. as inhibitors of the 11-beta-hydroxysteroid dehydrogenase type 1 enzyme)

RN 897394-71-7 CAPLUS

CN Tricyclo[3.3.1.1^{3,7}]decane-1-carboxylic acid, 4-[(2-methyl-1-oxo-2-phenylpropyl)amino]-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:240654 CAPLUS Full-text

DOCUMENT NUMBER: 145:174227

TITLE: Application of vanilloid receptor agonist to prepare anti-Alzheimer's medical products

INVENTOR(S): Chen, Chunlin; Mao, Chen; Zhang, Jintao

PATENT ASSIGNEE(S): Shanghai Medicilon Inc., Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 47 pp.

CODEN: CNXXEV

DOCUMENT TYPE: Patent

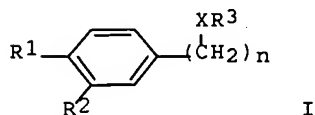
LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|------------------|----------|
| ----- | ---- | ----- | ----- | ----- |
| CN 1736485 | A | 20060222 | CN 2005-10027292 | 20050629 |
| PRIORITY APPLN. INFO.: | | | CN 2005-10027292 | 20050629 |

GI



AB The medical application of vanilloid receptor agonist for prevention, diagnosis, detection, treatment, and research of Alzheimer's disease and its assocd. diseases is presented. The vanilloid receptor agonist is vanillin or its deriv. with the structure I where R1 = OH, alkyl, alkoxy, acyloxy, aminoalkoxy, H, NH2, or halo; R2 = alkoxy, H, OH, NH2, alkyl, aliph. amino, arom. amino, aminoalkoxy, or acyloxy; R3 = C5-23 alkyl, alkenyl, diterpenyl, Ph, adamantyl, C5-23 piperazinyl, or their substituted deriv.; n = 0-2; and X = NHCO, CONH, COO, NHCOO, NHCONH, NHCSNH, or NH(O)S(O) and/or capsaicin analogs without 4-hydroxy- 3-methoxybenzylvanillyl but contg. phenolic OH and three assumed binding sites (vanillyl, amido, and aliph. chain). The drug delivery systems (powder injection, injection, large-capacity injection, tablet, and capsule) of the vanilloid vector agonist were prepd.

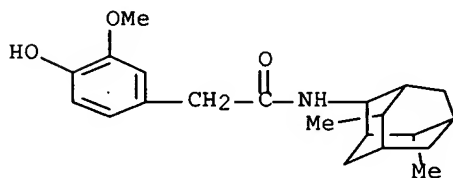
IT 900150-25-6P 900150-58-5P

RL: DGN (Diagnostic use); FFD (Food or feed use); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(application of vanilloid receptor agonist to prep. anti-Alzheimer's medical products)

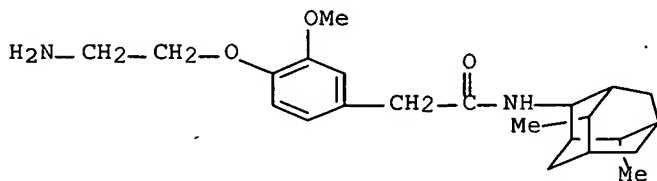
RN 900150-25-6 CAPLUS

CN Benzeneacetamide, N-(4,8-dimethyltricyclo[3.3.1.1.3,7]dec-2-yl)-4-hydroxy-3-methoxy- (9CI) (CA INDEX NAME)



RN 900150-58-5 CAPLUS

CN Benzeneacetamide, 4-(2-aminoethoxy)-N-(4,8-dimethyltricyclo[3.3.1.1.3,7]dec-2-yl)-3-methoxy-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:1042205 CAPLUS Full-text

DOCUMENT NUMBER: 143:346908

TITLE: Preparation of phenol derivatives as .beta.2 androgen receptor agonists

INVENTOR(S): Brown, Alan Daniel; Bunnage, Mark Edward; Glossop,

Paul Alan; James, Kim; Lane, Charlotte Alice Louise;
Lewthwaite, Russell Andrew; Lunn, Graham; Price, David
Anthony

PATENT ASSIGNEE(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 243 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005090287 | A2 | 20050929 | WO 2005-IB640 | 20050310 |
| WO 2005090287 | A3 | 20060216 | | |

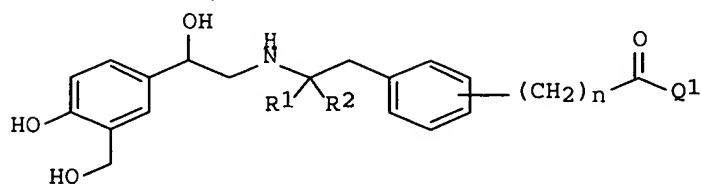
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

| | | | | |
|------------|---|----------|----------------|----------|
| EP 1577291 | A1 | 20050921 | EP 2004-290725 | 20040317 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | |

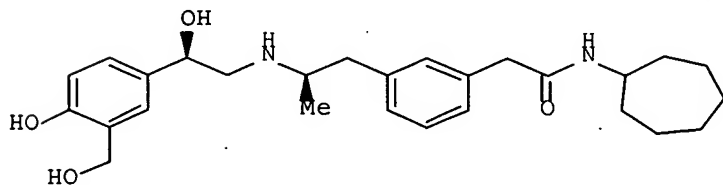
PRIORITY APPLN. INFO.: EP 2004-290725 A 20040317
US 2004-591790P P 20040727
GB 2004-25064 A 20041112

OTHER SOURCE(S): MARPAT 143:346908

GI



I



II

AB Title compds. I [(CH₂)_n-C(O)Q1 is meta or para; R1 and R2 independently = H or alkyl; n = 0-2; Q1 = mono- or disubstituted amine] and their pharmaceutically acceptable salts, are prepd. and disclosed as agonists of .beta.2 androgen receptor. Thus, e.g., II was prepd. by amidation of (3-{(2R)-2-[(2R)-2-{tert-butyl(dimethyl)silyloxy}-2-(4-hydroxy-3-hydroxymethyl-phenyl)-ethylamino]-propyl}-phenyl)-acetic acid (prepn. given) with cycloheptylamine followed by deprotection. The agonist potency of I for the .beta.2 androgen receptor was evaluated using CHO cells and it was found that selected compds. of the invention possessed EC₅₀ values in the range of 0.064 up to 0.874 nM. I as .beta.2 androgen receptor agonist should prove useful in the treatment of asthma, bronchitis and chronic obstructive pulmonary disease. Pharmaceutical compns. comprising I are disclosed.

IT 864153-28-6P

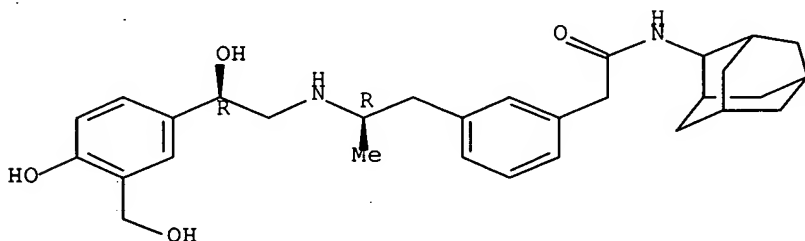
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of phenol derivs. as .beta.2 androgen receptor agonists)

RN 864153-28-6 CAPLUS

CN Benzeneacetamide, 3-[(2R)-2-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 864153-29-7P

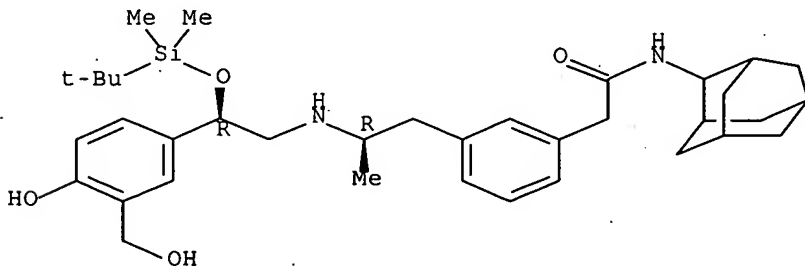
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of phenol derivs. as .beta.2 androgen receptor agonists)

RN 864153-29-7 CAPLUS

CN Benzeneacetamide, 3-[(2R)-2-[[(2R)-2-[[(1,1-dimethylethyl)dimethylsilyloxy]-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1020452 CAPLUS Full-text

DOCUMENT NUMBER: 143:286168

TITLE: Phenylethanolamine derivatives as beta-2 agonists,
their preparation and pharmaceutical compositions

PATENT ASSIGNEE(S): Pfizer Limited, UK

SOURCE: Eur. Pat. Appl., 99 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|------------|
| EP 1577291 | A1 | 20050921 | EP 2004-290725 | 20040317 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK | | | | |
| WO 2005090287 | A2 | 20050929 | WO 2005-IB640 | 20050310 |
| WO 2005090287 | A3 | 20060216 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| NL 1028559 | A1 | 20050920 | NL 2005-1028559 | 20050316 |
| NL 1028559 | C2 | 20060419 | | |
| US 2005234097 | A1 | 20051020 | US 2005-83265 | 20050316 |
| PRIORITY APPLN. INFO.: | | | EP 2004-290725 | A 20040317 |
| | | | US 2004-591790P | P 20040727 |
| | | | GB 2004-25064 | A 20041112 |
| | | | US 2005-642875P | P 20050110 |
| OTHER SOURCE(S): | | MARPAT 143:286168 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to phenylethanolamine derivs. I, which are adrenergic .beta.2 agonists. In compds. I, the (CH₂)_n-C(=O)X group is in the meta or para position; R₁ and R₂ are independently selected from H and C₁-4 alkyl; n is 0-2; and X is mono- or disubstituted amino. The invention also relates to the prepn. of I, pharmaceutical compns. contg. an effective amt. of a compd. I and optionally contg. one or more pharmaceutically acceptable excipients and/or additives, as well as to the use of the compns. for the treatment of inflammatory, allergic, and respiratory diseases. Me (R)-2-(benzyloxy)-5-(2-bromo-1-hydroxyethyl)benzoate was protected with TBDMS chloride and then underwent hydride redn. to give II. Esterification of 3-bromophenylacetic acid followed by tin-mediated coupling with isopropenyl acetate, enantioselective reductive amination with (R)-.alpha.-methylbenzylamine, and

IT 864153-28-6P, N-2-Adamantyl-2-[3-[(2R)-2-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]phenyl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of phenylethanolamine derivs. as .beta.2 agonists)

CN Benzeneacetamide, 3-[(2R)-2-[[(2R)-2-hydroxy-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]-N-tricyclo[3.3.1.3⁰.7]dec-2-yl-
(9CI) (CA INDEX NAME)

IT 864153-29-7P, N-2-Adamantyl-2-[3-[(2R)-2-[[(2R)-2-[(tert-butyl)dimethylsilyl]oxy]-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]phenyl]acetamide
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of phenylethanolamine derivs. as .beta.2 agonists)

CN Benzeneacetamide, 3-[(2R)-2-[[[(2R)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[4-hydroxy-3-(hydroxymethyl)phenyl]ethyl]amino]propyl]-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl]- (9CI) (CA INDEX NAME)

Chemical structure of compound 10: A complex molecule featuring a 3-(hydroxymethyl)phenol moiety linked via a chiral center (R) to a tert-butyl dimethylsilyl ether group. This is further connected to a chiral amine (R, Me) which is linked to a benzyl group, which is finally connected to a carbamate group with a norbornene moiety.

L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:443652 CAPLUS Full-text

DOCUMENT NUMBER: 143:133140

TITLE: Synthesis and Identification of Small Molecules that Potently Induce Apoptosis in Melanoma Cells through G1 Cell Cycle Arrest

AUTHOR(S): Dothager, Robin S.; Putt, Karson S.; Allen, Brittany J.; Leslie, Benjamin J.; Nesterenko, Vitaliy; Hergenrother, Paul J.

CORPORATE SOURCE: Department of Chemistry and Department of Biochemistry, Roger Adams Laboratory, University of Illinois, Urbana, IL, 61801, USA

SOURCE: Journal of the American Chemical Society (2005), 127(24), 8686-8696
CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

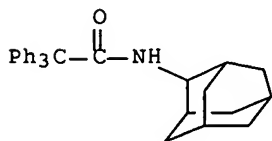
OTHER SOURCE(S): CASREACT 143:133140

AB Late-stage malignant melanoma is a cancer that is refractory to current chemotherapeutic treatments. The av. survival time for patients with such a diagnosis is 6 mo. In general, the vast majority of anticancer drugs operate through induction of cell cycle arrest and cell death in either the DNA synthesis (S) or mitosis (M) phase of the cell cycle. Unfortunately, the same mechanisms that melanocytes possess to protect cells from DNA damage often confer resistance to drugs that derive their toxicity from S or M phase arrest. Described herein is the synthesis of a combinatorial library of potential proapoptotic agents and the subsequent identification of a class of small mols. (triphenylmethyl)amides (TPMAs), e.g. $\text{Ph}_3\text{C}(\text{CH}_2)_n\text{CONHR}$ ($n = 0, 1$; $\text{R} = \text{alkyl, aralkyl, aryl, etc.}$), that arrest the growth of melanoma cells in the G1 phase of the cell cycle. Several of these TPMAs are quite potent inducers of apoptotic death in melanoma cell lines (IC_{50} .apprx. $0.5 \mu\text{M}$), and importantly, some TPMAs are comparatively nontoxic to normal cells isolated from the bone marrow of healthy donors. Furthermore, the TPMAs were found to dramatically reduce the level of active nuclear factor $\kappa\text{-B}$ (NF. κB) in the cell; NF. κB is known to be constitutively active in melanoma, and this activity is crit. for the proliferation of melanoma cells and their evasion of apoptosis. Compds. that reduce the level of NF. κB and arrest cells in the G1 phase of the cell cycle can provide insights into the biol. of melanoma and may be effective antimelanoma agents.

IT 851714-63-1P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)
(combinatorial prepn. of triphenylmethyamides as agents that induce apoptosis in melanoma cells through G1 cell cycle arrest)

RN 851714-63-1 CAPLUS

CN Benzeneacetamide, .alpha., .alpha.-diphenyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:423717 CAPLUS Full-text

DOCUMENT NUMBER: 142:463355

TITLE: A preparation of combinatorial library of
phenylacrylamide derivatives, useful for treatment of
cancer and modulation of programmed cell death for
melanoma

INVENTOR(S): Hergenrother, Paul J.; Nesterenko, Vitaliy; Putt,
Karson; Allen, Brittany Joy; Dothager, Robin Shane;
Leslie, Benjamin James

PATENT ASSIGNEE(S): The Board of Trustees of the University of Illinois,
USA

SOURCE: PCT Int. Appl., 112 pp.

CODEN: PIXXD2

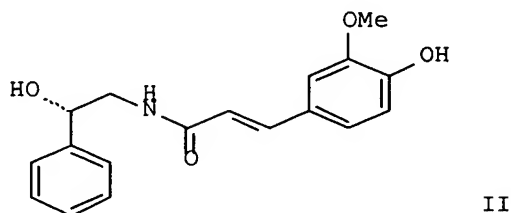
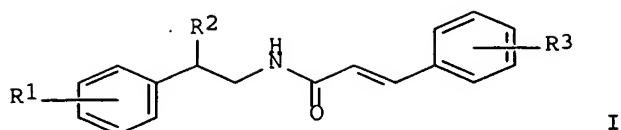
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|-------------------|----------|-----------------|------------|
| ----- | ---- | ----- | ----- | ----- |
| WO 2005044191 | A2 | 20050519 | WO 2004-US35746 | 20041028 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005197511 | A1 | 20050908 | US 2004-976186 | 20041027 |
| PRIORITY APPLN. INFO.: | | | US 2003-516556P | P 20031030 |
| | | | US 2004-603246P | P 20040820 |
| | | | US 2004-976186 | A 20041027 |
| OTHER SOURCE(S): | MARPAT 142:463355 | | | |
| GI | | | | |



AB The invention relates to a prepn. of combinatorial library of phenylacrylamide derivs. of formula I [wherein: R1 is H, one or more halogens, or one or more alkyl, etc.; R2 and R3 are independently H, halogen, halogenated alkyl, or alkoxy, etc.], useful for treatment of cancer and modulation of programmed cell death for melanoma and other cancer cells. For instance, phenylacrylamide II (IC50 = 61 .mu.M) was prepd. via amidation of (4-hydroxy-3-methoxyphenyl)acrylic acid by (2-hydroxy-2-phenylethyl)amine with a yield of 42%.

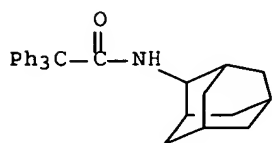
IT 851714-63-1P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP. (Preparation); USES (Uses)

(prepn. of combinatorial library of phenylacrylamide derivs. useful for treatment of cancer)

RN 851714-63-1 CAPLUS

CN Benzeneacetamide, .alpha., .alpha.-diphenyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl-(9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:878302 CAPLUS Full-text

DOCUMENT NUMBER: 141:360694

TITLE: Combination therapy using an 11.beta.-hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent for the treatment of metabolic syndrome and related diseases and disorders

INVENTOR(S): Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 297 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2004089416 | A2 | 20041021 | WO 2004-DK254 | 20040406 |
| WO 2004089416 | A3 | 20050303 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1615666 | A2 | 20060118 | EP 2004-725887 | 20040406 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | |
| JP 2006522750 | T2 | 20061005 | JP 2006-504357 | 20040406 |
| US 2006111348 | A1 | 20060525 | US 2005-254125 | 20051011 |
| PRIORITY APPLN. INFO.: | | | DK 2003-565 | A 20030411 |
| | | | DK 2003-566 | A 20030411 |
| | | | DK 2003-567 | A 20030411 |
| | | | DK 2003-569 | A 20030411 |
| | | | DK 2003-570 | A 20030411 |
| | | | DK 2003-571 | A 20030411 |
| | | | US 2003-467284P | P 20030502 |
| | | | US 2003-467362P | P 20030502 |
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| | | | US 2003-467437P | P 20030502 |
| | | | US 2003-467453P | P 20030502 |
| | | | US 2003-467800P | P 20030502 |
| | | | DK 2003-776 | A 20030522 |
| | | | DK 2003-777 | A 20030522 |
| | | | US 2003-474421P | P 20030530 |
| | | | US 2003-475157P | P 20030602 |
| | | | DK 2003-972 | A 20030627 |
| | | | DK 2003-988 | A 20030630 |
| | | | DK 2003-989 | A 20030630 |
| | | | DK 2003-990 | A 20030630 |
| | | | DK 2003-998 | A 20030702 |
| | | | US 2003-486078P | P 20030710 |
| | | | US 2003-486094P | P 20030710 |
| | | | US 2003-486095P | P 20030710 |
| | | | US 2003-486097P | P 20030710 |
| | | | US 2003-486098P | P 20030710 |
| | | | DK 2003-1910 | A 20031222 |
| | | | DK 2004-9 | A 20040106 |
| | | | US 2004-537099P | P 20040116 |
| | | | WO 2004-DK254 | W 20040406 |

OTHER SOURCE(S): MARPAT 141:360694

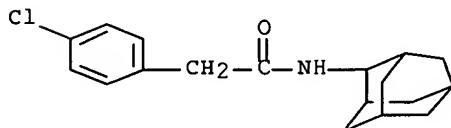
AB The invention discloses combination therapy comprising the administration of an 11.beta.-hydroxysteroid dehydrogenase type 1 inhibitor and an antihypertensive agent useful for treating, preventing and reducing the risk of developing insulin resistance, dyslipidemia, obesity, hypertension and other related diseases and disorders.

IT 352343-40-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(hydroxysteroid dehydrogenase inhibitor-antihypertensive agent
combination for treatment of metabolic syndrome and related conditions)

RN 352343-40-9 CAPLUS

CN Benzeneacetamide, 4-chloro-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA
INDEX NAME)



L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:878301 CAPLUS Full-text

DOCUMENT NUMBER: 141:360721

TITLE: Combination therapy using an 11.beta.-hydroxysteroid
dehydrogenase type 1 inhibitor and a glucocorticoid
receptor agonist to treat cancer and
inflammation-associated diseases and to minimize the
side effects associated with glucocorticoid receptor
agonist therapy

INVENTOR(S): Kampen, Gita Camilla Tejlgaard; Andersen, Henrik Sune

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

SOURCE: PCT Int. Appl., 305 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2004089415 | A2 | 20041021 | WO 2004-DK248 | 20040406 |
| WO 2004089415 | A3 | 20050310 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1615667 | A2 | 20060118 | EP 2004-725890 | 20040406 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | |
| JP 2006522744 | T2 | 20061005 | JP 2006-504351 | 20040406 |
| US 2006094699 | A1 | 20060504 | US 2005-246814 | 20051007 |
| PRIORITY APPLN. INFO.: | | | DK 2003-565 | A 20030411 |
| | | | DK 2003-566 | A 20030411 |
| | | | DK 2003-568 | A 20030411 |

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|-----------------|---|----------|
| DK 2003-569 | A | 20030411 |
| DK 2003-570 | A | 20030411 |
| DK 2003-571 | A | 20030411 |
| US 2003-467284P | P | 20030502 |
| US 2003-467362P | P | 20030502 |
| US 2003-467363P | P | 20030502 |
| US 2003-467443P | P | 20030502 |
| US 2003-467453P | P | 20030502 |
| US 2003-467800P | P | 20030502 |
| DK 2003-776 | A | 20030522 |
| DK 2003-778 | A | 20030522 |
| US 2003-475157P | P | 20030602 |
| US 2003-475195P | P | 20030602 |
| DK 2003-972 | A | 20030627 |
| DK 2003-988 | A | 20030630 |
| DK 2003-989 | A | 20030630 |
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| DK 2003-998 | A | 20030702 |
| US 2003-486078P | P | 20030710 |
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| US 2003-486095P | P | 20030710 |
| US 2003-486097P | P | 20030710 |
| US 2003-486098P | P | 20030710 |
| DK 2003-1910 | A | 20031222 |
| DK 2004-9 | A | 20040106 |
| US 2004-537099P | P | 20040116 |
| DK 2003-567 | A | 20030411 |
| DK 2003-777 | A | 20030522 |
| WO 2004-DK248 | W | 20040406 |

OTHER SOURCE(S): MARPAT 141:360721

AB The invention discloses combination therapy comprising the administration of an 11.beta.-hydroxysteroid dehydrogenase type 1 inhibitor and a glucocorticoid receptor agonist for treating some forms of cancer, diseases and disorders having inflammation as a component, and to minimize the side effects assocd. with glucocorticoid receptor agonist therapy.

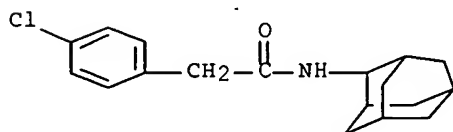
IT 352343-40-9

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(hydroxysteroid dehydrogenase inhibitor-glucocorticoid agonist combination to treat cancer and inflammation-assocd. diseases and minimize side effects assocd. with glucocorticoid agonist therapy)

RN 352343-40-9 CAPLUS

CN Benzeneacetamide, 4-chloro-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:872724 CAPLUS Full-text

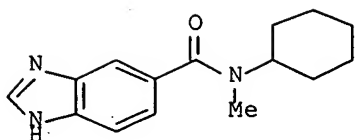
DOCUMENT NUMBER: 141:366223

TITLE: Pharmaceutical use of substituted amides as

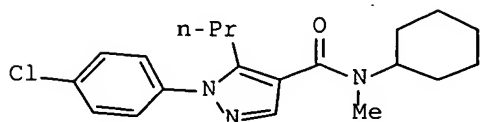
11.beta.-hydroxysteroid dehydrogenase type 1
modulators, especially inhibitors, for treating
metabolic

INVENTOR(S): Andersen, Henrik Sune; Kampen, Gita Camilla Tejlgaard;
Christensen, Inge Thoger; Mogensen, John Patrick;
Larsen, Annette Rosendal; Kilburn, John Paul
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 236 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2004089470 | A2 | 20041021 | WO 2004-DK250 | 20040406 |
| WO 2004089470 | A3 | 20041223 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
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| EP 1615698 | A2 | 20060118 | EP 2004-725891 | 20040406 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | |
| JP 2006522746 | T2 | 20061005 | JP 2006-504353 | 20040406 |
| US 2006111366 | A1 | 20060525 | US 2005-265794 | 20051011 |
| PRIORITY APPLN. INFO.: | | | DK 2003-565 | A 20030411 |
| | | | US 2003-467800P | P 20030502 |
| | | | DK 2003-972 | A 20030627 |
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| | | | DK 2003-989 | A 20030630 |
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| | | | DK 2003-998 | A 20030702 |
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| | | | DK 2003-1910 | A 20031222 |
| | | | DK 2004-9 | A 20040106 |
| | | | US 2004-537099P | P 20040116 |
| | | | WO 2004-DK250 | W 20040406 |
| OTHER SOURCE(S): | MARPAT 141:366223 | | | |
| GI | | | | |



II



III

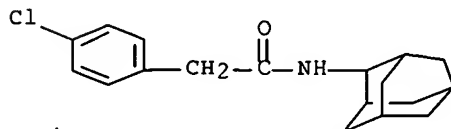
AB The invention is directed to the use of substituted amides of formula $R_3CONR_1R_2$ (I), and their optical isomers or mixt. of optical isomers, including racemates, and tautomers, their prodrugs, pharmaceutically acceptable salts, [wherein R_1 = (un)substituted cyclo/hetcyclo/aryl/hetaryl/alkyl, het/aryl, etc.; R_2 = H, (un)substituted aryl/cycloalkyl/alkylcarboxy/alkyl, het/aryl; or R_1NR_2 = (un)substituted (un)satd. bi/tricyclic ring contg. 4-10 carbons, and 0-2 heteroatoms; R_3 = (un)substituted cyclo/hetcyclo/aryl/alkyloxy/hetaryl/arylalkyl/alkyl, alkenyl, alkynyl, het/aryl] for modulating, esp. inhibiting, the activity of 11.β.-hydroxysteroid dehydrogenase type 1 (11.β.-HSD1) and use of their pharmaceutical compns. in the treatment, prevention, prophylaxis of a range of medical disorders where a decreased intracellular concn. of active glucocorticoid is desirable. The invention is also directed to the prepn. of certain title compds. I. For instance, acylation of 1H-benzimidazole-5-carboxylic acid with N-cyclohexyl-N-methylamine in THF in the presence of HOBT/EDAC/DIPEA gave amide II in 49% yield. Pyrazole-4-carboxamide (III) inhibited 11.β.-HSD1 enzyme with an $IC_{50} = 0.04 \mu M$. I are useful for treating metabolic disorders, type II diabetes, impaired glucose tolerance, impaired fasting glucose, dyslipidemia, obesity, hypertension, diabetic late complications, neurodegenerative and psychiatric disorders and adverse effects of treatment or therapy with glucocorticoid receptor agonists.

IT 352343-40-9P, N-Adamantan-2-yl-2-(4-chlorophenyl)acetamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of substituted amides as 11.β.-hydroxysteroid dehydrogenase type 1 modulators, esp. inhibitors, for treating metabolic disorders, type II diabetes and related diseases)

RN 352343-40-9 CAPLUS

CN Benzeneacetamide, 4-chloro-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:546468 CAPLUS Full-text

DOCUMENT NUMBER: 141:106272

TITLE: Preparation of adamantyl acetamides as hydroxysteroid dehydrogenase inhibitors

INVENTOR(S): Linders, Joannes Theodorus Maria; Willemsens, Gustaaf Henri Maria; Gilissen, Ronaldus Arnodus Hendrika Joseph; Buyck, Christophe Francis Robert Nestor; Vanhoof, Greta Constantia Peter; Van Der Veken, Louis Jozef Elisabeth; Jaroskova, Libuse

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

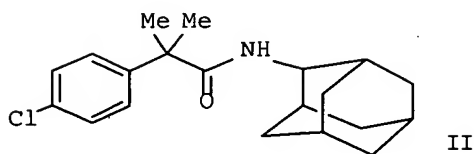
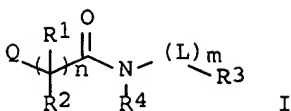
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2004056744 | A1 | 20040708 | WO 2002-EP14832 | 20021223 |
| W: US | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR | | | | |
| CA 2508621 | AA | 20040708 | CA 2003-2508621 | 20031216 |
| WO 2004056745 | A2 | 20040708 | WO 2003-EP51021 | 20031216 |
| WO 2004056745 | A3 | 20041111 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
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| AU 2003299243 | A1 | 20040714 | AU 2003-299243 | 20031216 |
| EP 1581476 | A2 | 20051005 | EP 2003-799577 | 20031216 |
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| BR 2003017716 | A | 20051122 | BR 2003-17716 | 20031216 |
| CN 1729158 | A | 20060201 | CN 2003-80107278 | 20031216 |
| JP 2006511570 | T2 | 20060406 | JP 2004-561497 | 20031216 |
| US 2006079506 | A1 | 20060413 | US 2005-540616 | 20050623 |
| NO 2005003596 | A | 20050722 | NO 2005-3596 | 20050722 |
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| | | | WO 2003-EP51021 | W 20031216 |

OTHER SOURCE(S): MARPAT 141:106272

GI



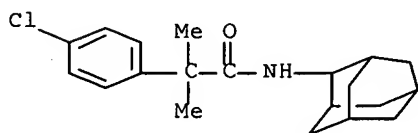
AB The title compds. I [$n = 0-2$; $m = 0-1$; $R_1, R_2 =$ independently H, C1-4alkyl, (substituted)amino, C1-4alkyloxy, or R_1 and R_2 taken together with the carbon atom with which they are attached form a C3-6cycloalkyl or when $n = 2$, either R_1 or R_2 may be absent to form an unsatd. bond; $R_3 =$ a C6-12cycloalkyl, preferably selected from cyclo-octanyl and cyclohexyl, etc.; $R_4 =$ H or C1-C4alkyl; $Q =$ (substituted)C3-8cycloalkyl, (substituted)heterocycle or (substituted)carbocyclic; $L =$ (substituted)C1-c4alkyl] were prepd. as hydroxysteroid dehydrogenase inhibitors for the treatment of diseases, such as obesity, diabetes, dementia, etc. For example, reaction of 2,2-dimethyl-(4-chlorophenyl)acetic acid and 2-aminoadamantane hydrochloride furnished compd. II. The latter inhibited 11.beta.-hydroxysteroid dehydrogenase type 1 and type 2 (11.beta.-HSD1 and 11.beta.-HSD2) activities with pIC50 in the range of 5-6 and <5, resp.

IT 717889-77-5P 717889-82-2P 717889-86-6P
717889-89-9P 717889-90-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(Prepn. of adamantyl acetamides as hydroxysteroid dehydrogenase inhibitors)

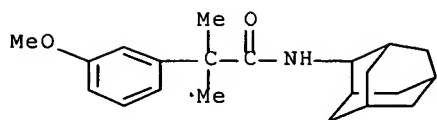
RN 717889-77-5 CAPLUS

CN Benzeneacetamide, 4-chloro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-82-2 CAPLUS

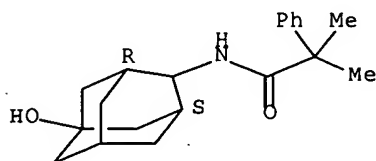
CN Benzeneacetamide, 3-methoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-86-6 CAPLUS

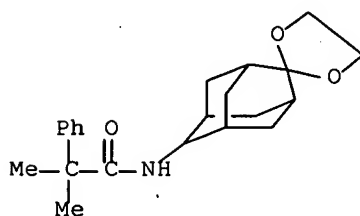
CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-
.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



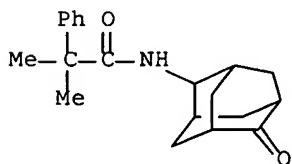
RN 717889-89-9 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-spiro[1,3-dioxolane-2,2'-
tricyclo[3.3.1.13,7]decan]-6'-yl- (9CI) (CA INDEX NAME)



RN 717889-90-2 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-(6-oxotricyclo[3.3.1.13,7]dec-
2-yl)- (9CI) (CA INDEX NAME)



IT 405076-60-0P 433942-93-9P 717889-79-7P
717889-81-1P 717889-83-3P 717889-84-4P
717889-85-5P 717889-87-7P 717889-88-8P
717889-91-3P 717889-96-8P 717889-99-1P

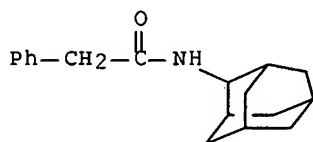
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 717890-16-9P 717890-18-1P 717890-19-2P
 717890-20-5P 717890-21-6P 717890-22-7P
 717890-23-8P 717890-24-9P 717890-25-0P
 717890-26-1P 717890-27-2P 717890-28-3P
 717890-29-4P 717890-30-7P 717890-31-8P
 717890-32-9P 717890-38-5P 717890-39-6P
 717890-45-4P 717890-46-5P 717890-47-6P
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 717890-55-6P 717890-57-8P 718599-62-3P
 718599-63-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Prepn. of adamantyl acetamides as hydroxysteroid dehydrogenase inhibitors)

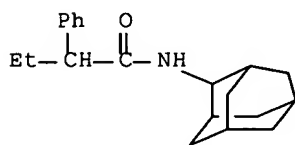
RN 405076-60-0 CAPLUS

CN Benzeneacetamide, N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



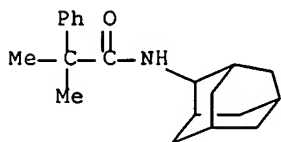
RN 433942-93-9 CAPLUS

CN Benzeneacetamide, .alpha.-ethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)

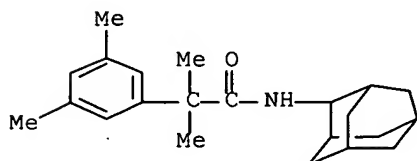


RN 717889-79-7 CAPLUS

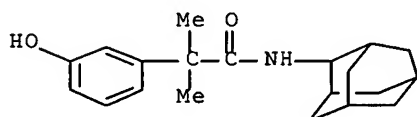
CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



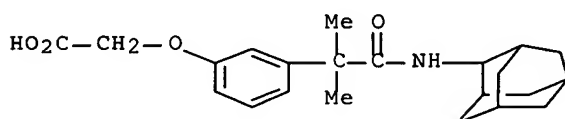
RN 717889-81-1 CAPLUS
 CN Benzeneacetamide, .alpha.,.alpha.,3,5-tetramethyl-N-
 tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



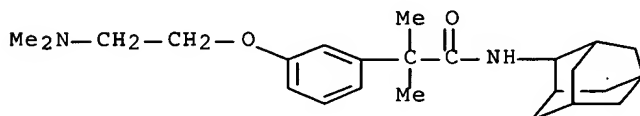
RN 717889-83-3 CAPLUS
 CN Benzeneacetamide, 3-hydroxy-.alpha.,.alpha.-dimethyl-N-
 tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-84-4 CAPLUS
 CN Acetic acid, [3-[1,1-dimethyl-2-oxo-2-(tricyclo[3.3.1.1^{3,7}]dec-2-ylamino)ethyl]phenoxy]- (9CI) (CA INDEX NAME)

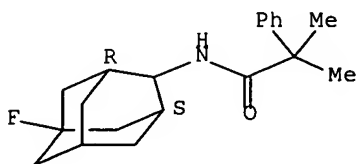


RN 717889-85-5 CAPLUS
 CN Benzeneacetamide, 3-[2-(dimethylamino)ethoxy]-.alpha.,.alpha.-dimethyl-N-
 tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717889-87-7 CAPLUS
 CN Benzeneacetamide, N-(5-fluorotricyclo[3.3.1.1^{3,7}]dec-2-yl)-.alpha.,.alpha.-
 dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

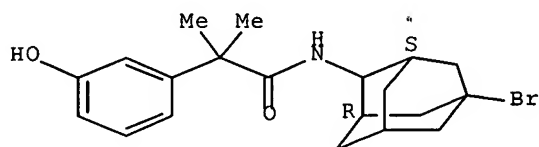
Relative stereochemistry.



RN 717889-88-8 CAPLUS

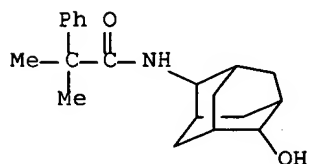
CN Benzeneacetamide, N-(5-bromotricyclo[3.3.1.13,7]dec-2-yl)-3-hydroxy-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



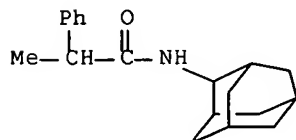
RN 717889-91-3 CAPLUS

CN Benzeneacetamide, N-(6-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



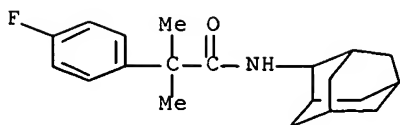
RN 717889-96-8 CAPLUS

CN Benzeneacetamide, .alpha.-methyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



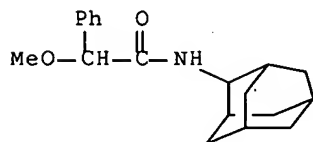
RN 717889-99-1 CAPLUS

CN Benzeneacetamide, 4-fluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



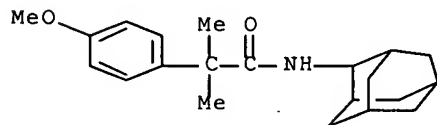
RN 717890-00-1 CAPLUS

CN Benzeneacetamide, .alpha.-methoxy-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI)
(CA INDEX NAME)



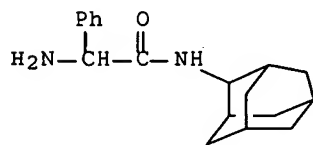
RN 717890-02-3 CAPLUS

CN Benzeneacetamide, 4-methoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



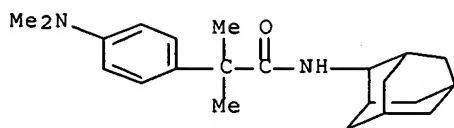
RN 717890-04-5 CAPLUS

CN Benzeneacetamide, .alpha.-amino-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



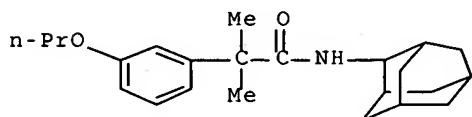
RN 717890-05-6 CAPLUS

CN Benzeneacetamide, 4-(dimethylamino)-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



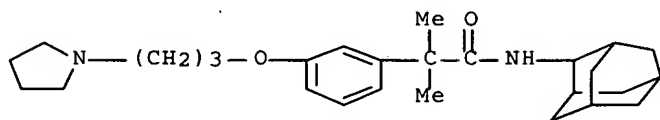
RN 717890-06-7 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-propoxy-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



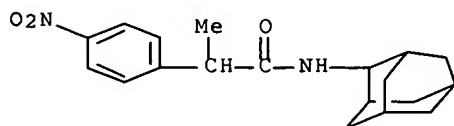
RN 717890-07-8 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-[3-(1-pyrrolidinyl)propoxy]-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



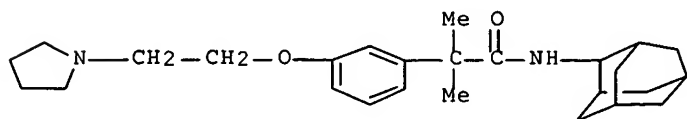
RN 717890-12-5 CAPLUS

CN Benzeneacetamide, .alpha.-methyl-4-nitro-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



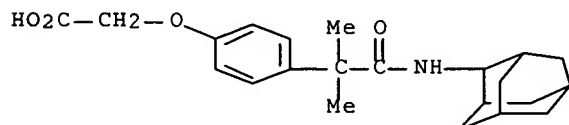
RN 717890-13-6 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-[2-(1-pyrrolidinyl)ethoxy]-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



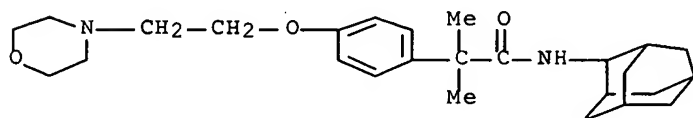
RN 717890-15-8 CAPLUS

CN Acetic acid, [4-[1,1-dimethyl-2-oxo-2-(tricyclo[3.3.1.1³,7]dec-2-ylamino)ethyl]phenoxy] - (9CI) (CA INDEX NAME)



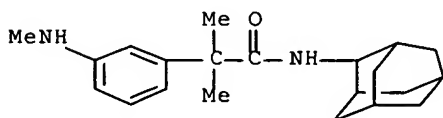
RN 717890-16-9 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-4-[2-(4-morpholinyl)ethoxy] -N-tricyclo[3.3.1.1.3,7]dec-2-yl - (9CI) (CA INDEX NAME)



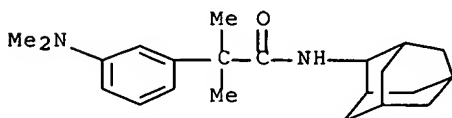
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CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-(methylamino) -N-tricyclo[3.3.1.1.3,7]dec-2-yl - (9CI) (CA INDEX NAME)



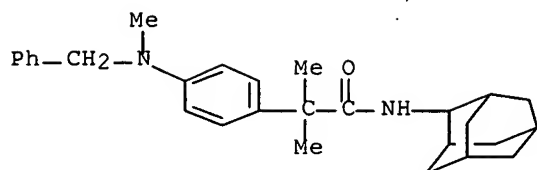
RN 717890-19-2 CAPLUS

CN Benzeneacetamide, 3-(dimethylamino)-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1.3,7]dec-2-yl - (9CI) (CA INDEX NAME)



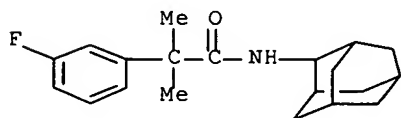
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CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-4-[methyl(phenylmethyl)amino] -N-tricyclo[3.3.1.1.3,7]dec-2-yl - (9CI) (CA INDEX NAME)



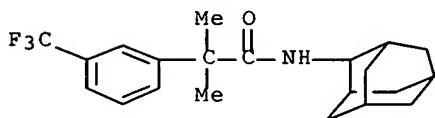
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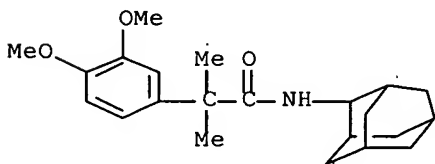
RN 717890-22-7 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



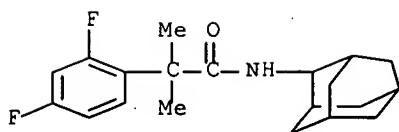
RN 717890-23-8 CAPLUS

CN Benzeneacetamide, 3,4-dimethoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



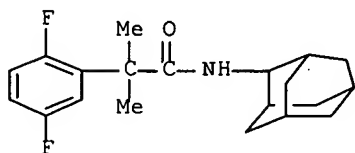
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CN Benzeneacetamide, 2,4-difluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.13,7]dec-2-yl- (9CI) (CA INDEX NAME)



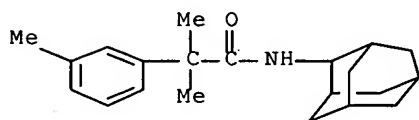
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CN Benzeneacetamide, 2,5-difluoro-.alpha.,.alpha.-dimethyl-N-
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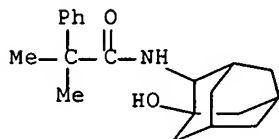
RN 717890-26-1 CAPLUS

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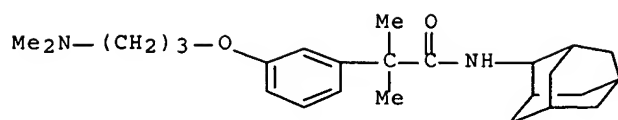
RN 717890-27-2 CAPLUS

CN Benzeneacetamide, N-(1-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-
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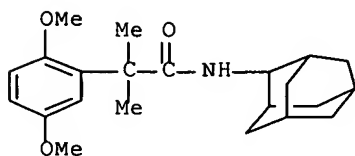
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CN Benzeneacetamide, 3-[3-(dimethylamino)propoxy]-.alpha.,.alpha.-dimethyl-N-
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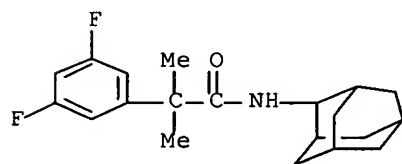
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CN Benzeneacetamide, 2,5-dimethoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.3^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



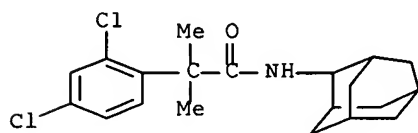
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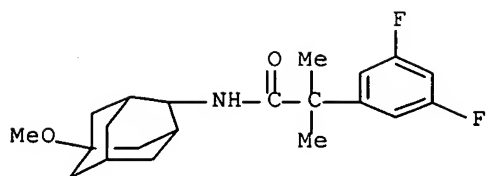
RN 717890-31-8 CAPLUS

CN Benzeneacetamide, 2,4-dichloro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.3^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



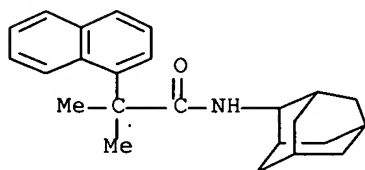
RN 717890-32-9 CAPLUS

CN Benzeneacetamide, 3,5-difluoro-N-(5-methoxytricyclo[3.3.1.3^{3,7}]dec-2-yl)-.alpha.,.alpha.-dimethyl- (9CI) (CA INDEX NAME)



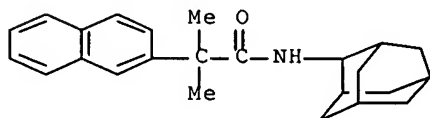
RN 717890-38-5 CAPLUS

CN 1-Naphthaleneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717890-39-6 CAPLUS

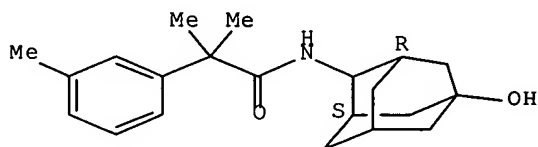
CN 2-Naphthaleneacetamide, .alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717890-45-4 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.1^{3,7}]dec-2-yl)-.alpha.,.alpha.,3-trimethyl-, stereoisomer (9CI) (CA INDEX NAME)

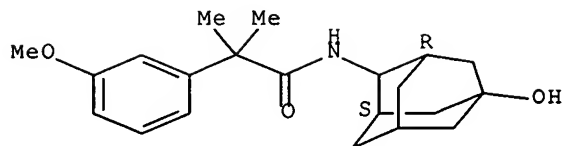
Relative stereochemistry.



RN 717890-46-5 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.1^{3,7}]dec-2-yl)-3-methoxy-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

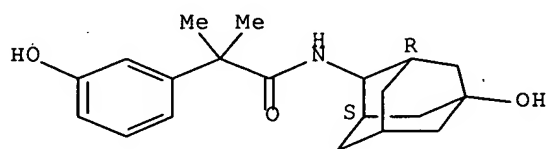
Relative stereochemistry.



RN 717890-47-6 CAPLUS

CN Benzeneacetamide, 3-hydroxy-N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

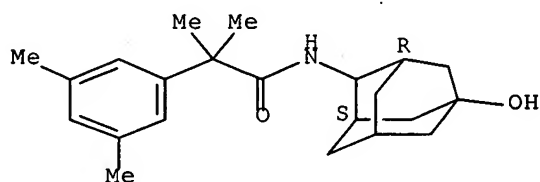
Relative stereochemistry.



RN 717890-48-7 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-.alpha.,.alpha.-3,5-tetramethyl-, stereoisomer (9CI) (CA INDEX NAME)

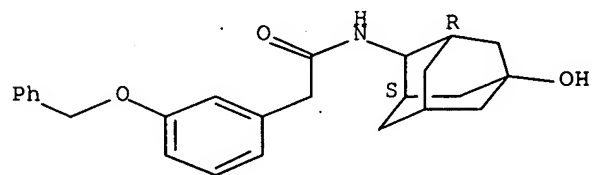
Relative stereochemistry.



RN 717890-50-1 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.13,7]dec-2-yl)-3-(phenylmethoxy)-, stereoisomer (9CI) (CA INDEX NAME)

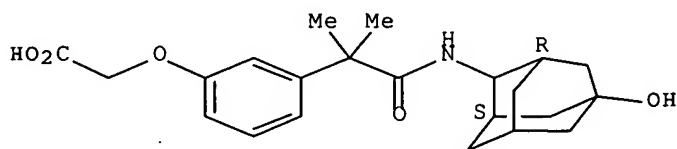
Relative stereochemistry.



RN 717890-51-2 CAPLUS

CN Acetic acid, [3-[2-[(5-hydroxytricyclo[3.3.1.1^{3,7}]dec-2-yl)amino]-1,1-dimethyl-2-oxoethyl]phenoxy]-, stereoisomer (9CI) (CA INDEX NAME)

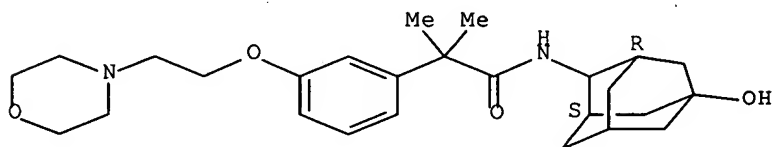
Relative stereochemistry.



RN 717890-52-3 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.1^{3,7}]dec-2-yl)-.alpha.,.alpha.-dimethyl-3-[2-(4-morpholinyl)ethoxy]-, stereoisomer (9CI) (CA INDEX NAME)

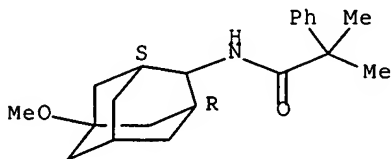
Relative stereochemistry.



RN 717890-53-4 CAPLUS

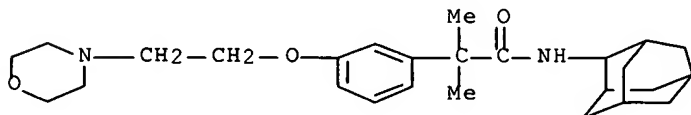
CN Benzeneacetamide, N-(5-methoxytricyclo[3.3.1.1^{3,7}]dec-2-yl)-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



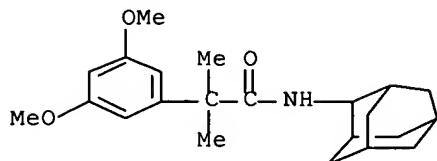
RN 717890-54-5 CAPLUS

CN Benzeneacetamide, .alpha.,.alpha.-dimethyl-3-[2-(4-morpholinyl)ethoxy]-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



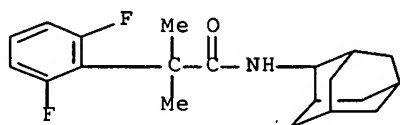
RN 717890-55-6 CAPLUS

CN Benzeneacetamide, 3,5-dimethoxy-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



RN 717890-57-8 CAPLUS

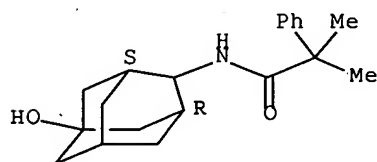
CN Benzeneacetamide, 2,6-difluoro-.alpha.,.alpha.-dimethyl-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



RN 718599-62-3 CAPLUS

CN Benzeneacetamide, N-(5-hydroxytricyclo[3.3.1.1^{3,7}]dec-2-yl)-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

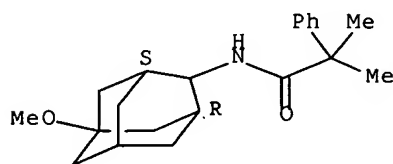
Relative stereochemistry.



RN 718599-63-4 CAPLUS

CN Benzeneacetamide, N-(5-methoxytricyclo[3.3.1.1^{3,7}]dec-2-yl)-.alpha.,.alpha.-dimethyl-, stereoisomer (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

28

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:354079 CAPLUS Full-text

DOCUMENT NUMBER: 136:355487

TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander; Waszkowycz, Bohdan; Murray, Christopher William; Rimmer, Andrew David; Welsh, Pauline Mary; Jones, Stuart Donald; Roscoe, Jonathan Michael Ernest; Young, Stephen Clinton; Morgan, Phillip John

PATENT ASSIGNEE(S): Tularik Ltd., UK

SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U.S. Ser. No. 485,678.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

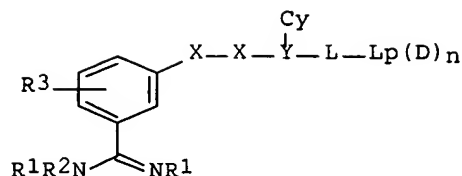
FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|-------------|
| US 2002055522 | A1 | 20020509 | US 2001-988082 | 20011119 |
| US 6740682 | B2 | 20040525 | | |
| WO 9911658 | A1 | 19990311 | WO 1998-GB2605 | 19980828 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | |
| RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| WO 2000077027 | A2 | 20001221 | WO 2000-GB2291 | 20000613 |
| WO 2000077027 | A3 | 20010525 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| US 2004143018 | A1 | 20040722 | US 2004-752568 | 20040108 |
| PRIORITY APPLN. INFO.: | | | GB 1997-18392 | A 19970829 |
| | | | GB 1998-3173 | A 19980213 |
| | | | WO 1998-GB2605 | W 19980828 |
| | | | GB 1999-13823 | A 19990614 |
| | | | US 1999-142064P | P 19990702 |
| | | | US 2000-485678 | A2 20000225 |
| | | | WO 2000-GB2291 | A2 20000613 |
| | | | GB 1999-18741 | A 19990809 |
| | | | GB 1999-29552 | A 19991214 |
| | | | GB 1999-29553 | A 19991214 |
| | | | US 2001-988082 | A1 20011119 |

OTHER SOURCE(S): MARPAT 136:355487

GI



I

AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyacetyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulfenyl, alkylsulfonamido, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzamidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = org. linker contg. 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)satd., (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxa, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2], or corresponding compds. in which the (un)substituted amidino group R1R2NC(:NR1) is replaced with an (un)substituted aminomethyl group, or their physiol. tolerable salts were prepd. as serine protease inhibitors useful as antithrombotic agents. 3-Amidino- and 3-(aminomethyl)benzoyl-D-phenylglycine 4-aminomethylcyclohexylmethylamide are among 190 compds. synthesized.

IT 221235-32-1P

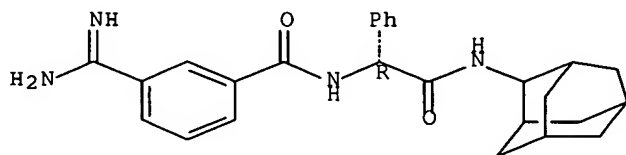
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of meta-benzamidine derivs. of amino acids or dipeptides as serine protease inhibitors)

RN 221235-32-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[3-(aminoiminomethyl)benzoyl]amino]-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:184269 CAPLUS Full-text

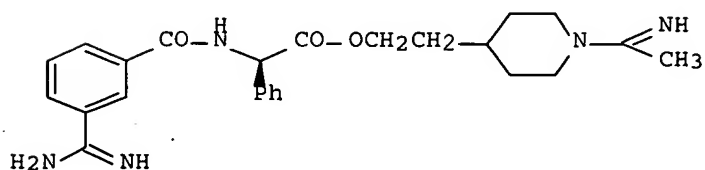
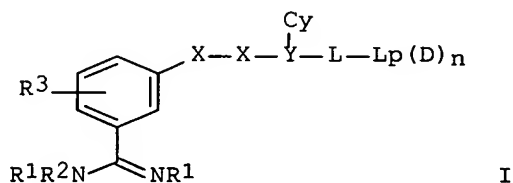
DOCUMENT NUMBER: 130:237884

TITLE: Preparation of meta-benzamidine derivatives of amino acids or dipeptides as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Wylie, William Alexander;
 Waszkowycz, Bohdan; Murray, Christopher William;
 Rimmer, Andrew David; Welsh, Pauline Mary; Jones,
 Stuart Donald; Roscoe, Jonathan Michael Ernest; Young,
 Stephen Clinton; Morgan, Phillip John
 PATENT ASSIGNEE(S): Proteus Molecular Design Ltd., UK
 SOURCE: PCT Int. Appl., 110 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 13
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 9911658 | A1 | 19990311 | WO 1998-GB2605 | 19980828 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9888757 | A1 | 19990322 | AU 1998-88757 | 19980828 |
| EP 1009758 | A1 | 20000621 | EP 1998-940430 | 19980828 |
| EP 1009758 | B1 | 20050601 | | |
| R: DE, FR, GB, IT | | | | |
| US 2002055522 | A1 | 20020509 | US 2001-988082 | 20011119 |
| US 6740682 | B2 | 20040525 | | |
| US 2004143018 | A1 | 20040722 | US 2004-752568 | 20040108 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | GB 1997-18392 | A 19970829 |
| | | | GB 1998-3173 | A 19980213 |
| | | | WO 1998-GB2605 | W 19980828 |
| | | | GB 1999-13823 | A 19990614 |
| | | | US 1999-142064P | P 19990702 |
| | | | US 2000-485678 | A2 20000225 |
| | | | WO 2000-GB2291 | A2 20000613 |
| | | | US 2001-988082 | A1 20011119 |

OTHER SOURCE(S): MARPAT 130:237884
 GI



AB Title compds. I [R1, R2 = H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxyacetyl, acyloxymethoxycarbonyl or alkylamino optionally substituted by hydroxy, alkylamino, alkoxy, oxo, aryl, cycloalkyl; R3 = R1, R2, amino, halo, cyano, nitro, thiol, alkylthio, alkylsulfonyl, alkylsulphenyl, alkylsulfonamido, alkylaminosulfonyl, haloalkoxy, haloalkyl; X = C, N, O, S, CO, CR1, C(R1)2, NR1 with at least one X being C, CO, CR1 or C(R1)2, with the proviso that if the benzamidine group is unsubstituted and the X-X group is -CH2C(R1)2-, then R1 = H or attached to the alkylene carbon atom by a heteroatom; L = org. linker contg. 1-5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y = N, CR1; YL = cyclic group; Cy = (un)satd., (poly)cyclic, (hetero)cyclic group optionally substituted by groups R3 or Ph optionally substituted by R3; Lp = lipophilic alkyl, heterocyclic, alkenyl, alkaryl, (poly)cycloalkyl, cycloalkenyl, aryl, aralkyl, haloalkyl, or a combination of two or more such groups optionally substituted by oxa, oxo, aza, thio, halo, amino, hydroxy or by R3; D = H bond donor group; n = 0-2] and their physiol. tolerable salts were prepd. as serine protease inhibitors useful as antithrombotic agents. Synthesis methodol. for prepg. some I was provided, and common starting materials were Fmoc- or Boc-(D)-phenylglycine and m-amidinobenzoic acid. Descriptions of enzyme assays were given, but no enzyme inhibition data was provided for I. To measure the antithrombotic activity, a partial thromboplastin time test assay was done, and for example, m-amidinobenzoyl-D-phenylglycine ester II (prepn. not given, but 1H NMR characterization data provided), at 1.9 .mu.M concn., doubled the clotting time.

IT 221235-32-1P

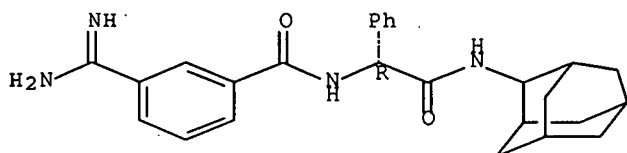
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of meta-benzamidine derivs. of amino acids or dipeptides as serine protease inhibitors)

RN 221235-32-1 CAPLUS

CN Benzeneacetamide, .alpha.-[[3-(aminoiminomethyl)benzoyl]amino]-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl-, (.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:246982 CAPLUS Full-text

DOCUMENT NUMBER: 114:246982

TITLE: Preparation of arylcarboxamides for promoting formation of human nerve growth factor (NGF).

INVENTOR(S): Naruto, Shunji; Matsuda, Keiichi; Sugano, Yuichi; Sugimoto, Masahiko

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 25 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

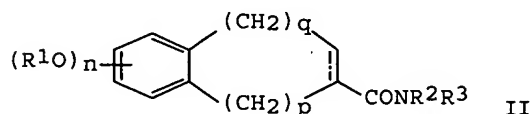
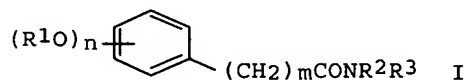
LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|------------|
| EP 399814 | A2 | 19901128 | EP 1990-305633 | 19900523 |
| EP 399814 | A3 | 19920108 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| JP 03086853 | A2 | 19910411 | JP 1990-119755 | 19900511 |
| CA 2017287 | AA | 19901123 | CA 1990-2017287 | 19900522 |
| DD 299424 | A5 | 19920416 | DD 1990-340912 | 19900522 |
| RU 2022961 | C1 | 19941115 | RU 1990-4743989 | 19900522 |
| CN 1048030 | A | 19901226 | CN 1990-103242 | 19900523 |
| HU 54108 | A2 | 19910128 | HU 1990-3164 | 19900523 |
| HU 208111 | B | 19930830 | | |
| JP 03163053 | A2 | 19910715 | JP 1990-206008 | 19900803 |
| PRIORITY APPLN. INFO.: | | | JP 1989-129344 | A 19890523 |
| | | | JP 1989-204222 | A 19890807 |
| OTHER SOURCE(S): | | MARPAT 114:246982 | | |
| GI | | | | |



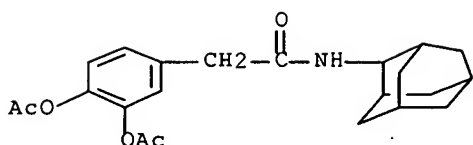
AB Title compds. I (R1 = H, HO-protecting group; R2 = alkyl, cycloalkyl, cycloalkyl condensed with aryl, aryl, aralkyl, heterocyclyl; R3 = H, R2; R2R3N = cyclic amino; m = 1-6; n = 1-3) and II (R1-R3 and n as before; p, y = 0-3), were prepd. for promoting NGF prodn. and secretion. 2,5-Cl2C6H3NH2 and pyridine in CH2Cl2 were treated with 3,4-(AcO)2C6H3CH2CH2COCl with ice cooling under stirring to give I [R1O)n = 3,4-(AcO)2; m = 3; R2 = 2,5-Cl2C6H3; R3 = H) (III). In a test for promotion of secretion of NGF III showed a rel. value of 201% vs. epinephrine 140%. Addnl. 95 I and II were prepd. and showed excellent activity in promoting NGF prodn. and secretion. Capsule formulations contg. 2 specific I are given.

IT 134122-91-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as promoter of human nerve growth factor formation)

RN 134122-91-1 CAPLUS

CN Benzeneacetamide, 3,4-bis(acetyloxy)-N-tricyclo[3.3.1.1.3,7]dec-2-yl- (9CI)
(CA INDEX NAME)



L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1988:150442 CAPLUS Full-text

DOCUMENT NUMBER: 108:150442

TITLE: Correlation between chemical constitution and sweet taste. Malondiamides and analogs

AUTHOR(S): De Nardo, M.; Collino, F.

CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Trieste, Trieste, Italy

SOURCE: Bollettino Chimico Farmaceutico (1987), 126(3), 109-15
CODEN: BCFAAI; ISSN: 0006-6648

DOCUMENT TYPE: Journal

LANGUAGE: Italian

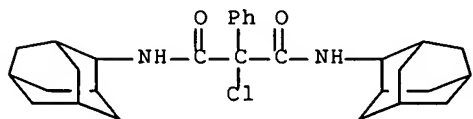
AB 2-Chloromalondiamide derivs. and analogs have been synthesized by reaction between chloride and substituted malondiamides and analogs in chloroform. The n-alkyl substituted derivs. are nearly all sweet-tasting; secondary amides (cyclic or not) are tasteless, but one is slightly bitter; aralkyl derivs. are bitter.

IT 113708-80-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and sweetness of)

RN 113708-80-8 CAPLUS

CN Propanediamide, 2-chloro-2-phenyl-N,N'-bis(tricyclo[3.3.1.13,7]dec-2-yl)- (9CI) (CA INDEX NAME)

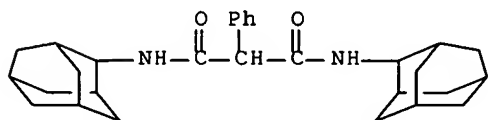


IT 113708-74-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., taste, and chlorination of)

RN 113708-74-0 CAPLUS

CN Propanediamide, 2-phenyl-N,N'-bis(tricyclo[3.3.1.13,7]dec-2-yl)- (9CI)
(CA INDEX NAME)



L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1974:403447 CAPLUS Full-text

DOCUMENT NUMBER: 81:3447

TITLE: Synthesis of 2-aminoadamantane and its N-substituted derivatives

AUTHOR(S): Lavrova, L. N.; Klimova, N. V.; Shmar'yan, M. I.; Ul'yanova, O. V.; Vikhlyaev, Yu. I.; Skoldinov, A. P.

CORPORATE SOURCE: Inst. Farmakol., Moscow, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1974), 10(4), 761-5

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal

LANGUAGE: Russian

GI For diagram(s), see printed CA Issue.

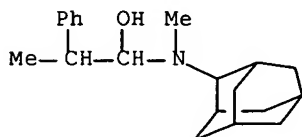
AB 2-Adamantanone (I) reacted with 98% HCO₂H and RR₁NH [R = H, R₁ = H, Me, Bu, HOCH₂CH₂, PhCH₂, PhCH₂CHMe, Ph, .gamma.-pyridyl; R = Me, R₁ = Me, HOCH₂CH₂, PhCH(OH)CHMe; RR₁N = piperidino, morpholino] in 1:2:2 ratio at reflux to give the corresponding 2-aminoadamantanes (II) in 52-96% yield; II (R = R₁ = H) (III) reacted with AcCl, BzCl, and ClCH₂CO₂Et to give 64.7-95% II (R = H; R₁ = Ac, Bz, CH₂CO₂Et, resp.). I reacted with HCONH₂, III, and 1-aminoadamantane in HCO₂H at 160-80.degree. to give II (R = H; R₁ = CHO, 2- and 1-adamantyl, resp.).

IT 52917-70-1P 52917-77-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

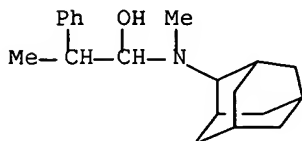
RN 52917-70-1 CAPLUS

CN Benzeneethanol, .beta.-methyl-.alpha.-(methyltricyclo[3.3.1.1^{3,7}]dec-2-ylamino)- (9CI) (CA INDEX NAME)



RN 52917-77-8 CAPLUS

CN Benzeneethanol, .beta.-methyl-.alpha.-(methyltricyclo[3.3.1.1^{3,7}]dec-2-ylamino)-, hydrochloride (9CI) (CA INDEX NAME)

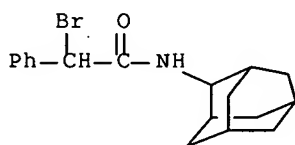


● HCl

L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1972:3518 CAPLUS Full-text

DOCUMENT NUMBER: 76:3518
 TITLE: Aryl-substituted .alpha.-lactams
 AUTHOR(S): Talaty, Erach R.; Utermoehlen, Clifford M.; Stekoll, Louis H.
 CORPORATE SOURCE: Dep. Chem., Wichita State Univ., Wichita, KS, USA
 SOURCE: Synthesis (1971), (10), 543-4
 CODEN: SYNTBF; ISSN: 0039-7881
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB The lactam (I, R = 2-adamantyl) (II) was prepd. and its stability compared with that of I (R = 1-adamantyl) (III). Thus, PhCH₂COCl was treated with Br in boiling CCl₄. The crude PhCHBrCOCl was treated with 2-aminoadamantane to give the .alpha.-bromoamide (IV). Treatment of IV with tert-BuOK in dry ether at 0.degree. yielded II. III was similarly prepd.
 IT 34655-02-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)
 RN 34655-02-2 CAPLUS
 CN Benzeneacetamide, .alpha.-bromo-N-tricyclo[3.3.1.1^{3,7}]dec-2-yl- (9CI) (CA INDEX NAME)



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---Logging off of STN---

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Executing the logoff script...

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